

09/ 533,219

Trying 3106016892...Open

Welcome to STN International! Enter x:x

LOGINID:sssptal202txn

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

\* \* \* \* \* Welcome to STN International \* \* \* \* \*

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America  
NEWS 2 Sep 29 The Philippines Inventory of Chemicals and Chemical  
Substances (PICCS) has been added to CHEMLIST  
NEWS 3 Oct 27 New Extraction Code PAX now available in Derwent  
Files  
NEWS 4 Oct 27 SET ABBREVIATIONS and SET PLURALS extended in  
Derwent World Patents Index files  
NEWS 5 Oct 27 Patent Assignee Code Dictionary now available  
in Derwent Patent Files  
NEWS 6 Oct 27 Plasdoc Key Serials Dictionary and Echoing added to  
Derwent Subscriber Files WPIDS and WPIX  
NEWS 7 Nov 29 Derwent announces further increase in updates for DWPI  
NEWS 8 Dec 5 French Multi-Disciplinary Database PASCAL Now on STN  
NEWS 9 Dec 5 Trademarks on STN - New DEMAS and EUMAS Files  
NEWS 10 Dec 15 2001 STN Pricing  
NEWS 11 Dec 17 Merged CEABA-VTB for chemical engineering and  
biotechnology  
NEWS 12 Dec 17 Corrosion Abstracts on STN  
NEWS 13 Dec 17 SYNTHLINE from Prous Science now available on STN  
NEWS 14 Dec 17 The CA Lexicon available in the CAPLUS and CA files  
NEWS 15 Jan 05 AIDSLINE is being removed from STN  
NEWS 16 Feb 06 Engineering Information Encompass files have new names  
NEWS 17 Feb 16 TOXLINE no longer being updated

NEWS EXPRESS FREE UPGRADE 5.0e FOR STN EXPRESS 5.0 WITH DISCOVER!  
(WINDOWS) NOW AVAILABLE  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS INTER General Internet Information  
NEWS LOGIN Welcome Banner and News Items  
NEWS PHONE Direct Dial and Telecommunication Network Access to STN  
NEWS WWW CAS World Wide Web Site (general information)

Enter NEWS followed by the item number or name to see news on that  
specific topic.

All use of STN is subject to the provisions of the STN Customer  
agreement. Please note that this agreement limits use to scientific  
research. Use for software development or design or implementation  
of commercial gateways or other similar uses is prohibited and may  
result in loss of user privileges and other penalties.

\* \* \* \* \* STN Columbus \* \* \* \* \*

FILE 'HOME' ENTERED AT 17:11:24 ON 12 MAR 2001

=> file reg

09/ 533,219

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.15

0.15

FILE 'REGISTRY' ENTERED AT 17:11:32 ON 12 MAR 2001  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2001 American Chemical Society (ACS)

STRUCTURE FILE UPDATES: 11 MAR 2001 HIGHEST RN 326792-71-6  
DICTIONARY FILE UPDATES: 11 MAR 2001 HIGHEST RN 326792-71-6

TSCA INFORMATION NOW CURRENT THROUGH July 8, 2000

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

Structure search limits have been increased. See HELP SLIMIT  
for details.

=>

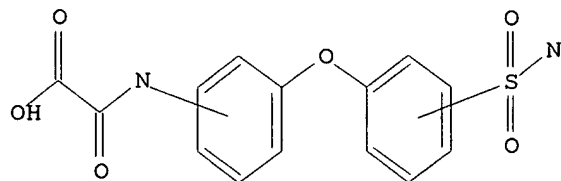
Uploading 533219.str

L1 STRUCTURE UPLOADED

=> d 11

L1 HAS NO ANSWERS

L1 STR



Structure attributes must be viewed using STN Express query preparation.

=> s 11

SAMPLE SEARCH INITIATED 17:12:11 FILE 'REGISTRY'  
SAMPLE SCREEN SEARCH COMPLETED - 11 TO ITERATE

100.0% PROCESSED 11 ITERATIONS  
SEARCH TIME: 00.00.01

1 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*COMPLETE\*\*  
BATCH \*\*COMPLETE\*\*  
PROJECTED ITERATIONS: 22 TO 418  
PROJECTED ANSWERS: 1 TO 80

09/ 533,219

L2 1 SEA SSS SAM L1

=> s l1 ful

FULL SEARCH INITIATED 17:12:17 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 213 TO ITERATE

100.0% PROCESSED 213 ITERATIONS 33 ANSWERS  
SEARCH TIME: 00.00.01

L3 33 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	133.56	133.71

FILE 'CAPLUS' ENTERED AT 17:12:24 ON 12 MAR 2001  
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.  
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.  
COPYRIGHT (C) 2001 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications.

FILE COVERS 1967 - 12 Mar 2001 VOL 134 ISS 12  
FILE LAST UPDATED: 9 Mar 2001 (20010309/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

This file supports REGISTRY for direct browsing and searching of all substance data from the REGISTRY file. Enter HELP FIRST for more information.

Now you can extend your author, patent assignee, patent information, and title searches back to 1907. The records from 1907-1966 now have this searchable data in CAOLD. You now have electronic access to all of CA: 1907 to 1966 in CAOLD and 1967 to the present in CAPLUS on STN.

The CA Lexicon is now available in the Controlled Term (/CT) field. Enter HELP LEXICON for full details.

Attention, the CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

=> s l3

L4 2 L3

=> d k4 1- ibib abs hitstr

'K4' IS NOT A VALID FORMAT FOR FILE 'CAPLUS'

The following are valid formats:

ABS	-----	GI and AB
ALL	-----	BIB, AB, IND, RE
APPS	-----	AI, PRAI
BIB	-----	AN, plus Bibliographic Data and PI table (default)
CAN	-----	List of CA abstract numbers without answer numbers
CBIB	-----	AN, plus Compressed Bibliographic Data
DALL	-----	ALL, delimited (end of each field identified)
DMAX	-----	MAX, delimited for post-processing
FAM	-----	AN, PI and PRAI in table, plus Patent Family data
FBIB	-----	AN, BIB, plus Patent FAM
IND	-----	Indexing data
IPC	-----	International Patent Classifications
MAX	-----	ALL, plus Patent FAM, RE
PATS	-----	PI, SO
SAM	-----	CC, SX, TI, ST, IT
SCAN	-----	CC, SX, TI, ST, IT (random display, no answer numbers; SCAN must be entered on the same line as the DISPLAY, e.g., D SCAN or DISPLAY SCAN)
STD	-----	BIB, IPC, and NCL
IABS	-----	ABS, indented with text labels
IALL	-----	ALL, indented with text labels
IBIB	-----	BIB, indented with text labels
IMAX	-----	MAX, indented with text labels
ISTD	-----	STD, indented with text labels
OBIB	-----	AN, plus Bibliographic Data (original)
OIBIB	-----	OBIB, indented with text labels
SBIB	-----	BIB, no citations
SIBIB	-----	IBIB, no citations
HIT	-----	Fields containing hit terms
HITIND	-----	IC, ICA, ICI, NCL, CC and index field (ST and IT) containing hit terms
HITRN	-----	HIT RN and its text modification
HITSTR	-----	HIT RN, its text modification, its CA index name, and its structure diagram
FHITSTR	-----	First HIT RN, its text modification, its CA index name, and its structure diagram
KWIC	-----	Hit term plus 20 words on either side
OCC	-----	Number of occurrence of hit term and field in which it occurs

To display a particular field or fields, enter the display field codes. For a list of the display field codes, enter HELP DFIELDS at an arrow prompt (=>). Examples of formats include: TI; TI,AU; BIB,ST; TI,IND; TI,SO. You may specify the format fields in any order and the information will be displayed in the same order as the format specification.

All of the formats (except for SAM, SCAN, HIT, HITIND, HITRN, HITSTR, FHITSTR, KWIC, and OCC) may be used with DISPLAY ACC to view a specified Accession Number.

ENTER DISPLAY FORMAT (BIB):ibib abs hitstr

YOU HAVE REQUESTED DATA FROM 2 ANSWERS - CONTINUE? Y/(N):y

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:707138 CAPLUS

DOCUMENT NUMBER: 133:266609

TITLE: Preparation of (4-phenoxyphenyl)oxamic acid derivatives and analogs as hypolipidemics

INVENTOR(S): Kukkola, Paivi Jaana

PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis-Erfindungen

SOURCE: PCT Int. Appl., 53 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000058279	A1	20001005	WO 2000-EP2683	20000327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

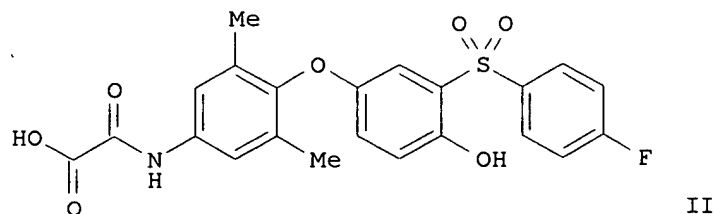
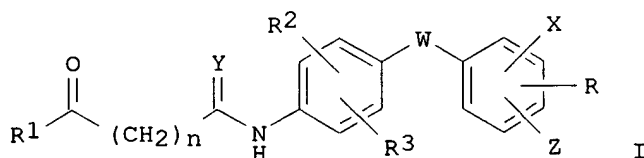
PRIORITY APPLN. INFO.:

US 1999-280105 19990329

OTHER SOURCE(S):

MARPAT 133:266609

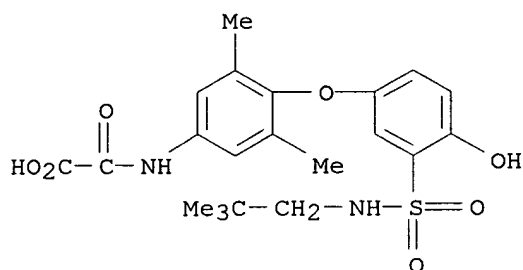
GI



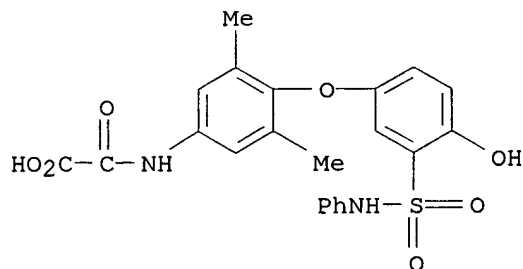
AB The title compds. (I) [wherein W = O, S, S(O) or SO<sub>2</sub>; X = SR<sub>4</sub>, S(O)R<sub>4</sub>, SO<sub>2</sub>R<sub>4</sub>, SO<sub>2</sub>NR<sub>5</sub>R<sub>6</sub>, or CONR<sub>5</sub>R<sub>6</sub>; Y = O or H<sub>2</sub>; Z = H, halogen, OH, or (un)substituted (ar)alkoxy, acyloxy, or alkoxycarbonyloxy; R = H, halogen, CF<sub>3</sub>, or (cyclo)alkyl; R<sub>1</sub> = OH, (un)substituted (cyclo)alkoxy, (hetero)aryloxy, or (hetero)aralkoxy, or -NR<sub>5</sub>R<sub>6</sub>; R<sub>2</sub> = H, halogen, or alkyl; R<sub>3</sub> = halogen or alkyl; R<sub>4</sub> is (un)substituted (ar)alkyl, (hetero)aryl, or heteroaralkyl; R<sub>5</sub>, R<sub>6</sub>, and R<sub>7</sub> = independently H, (un)substituted (cyclo)alkyl, (hetero)aryl, or (hetero)aralkyl; or R<sub>5</sub> and R<sub>6</sub> combined = alkylene optionally interrupted by O, S, S(O), SO<sub>2</sub>, or NR<sub>7</sub> which together with the nitrogen atom to which they are attached form a 5- to 7-membered ring; n = 0-4] were prep'd. I demonstrated potent binding to the triiodothyronine (T<sub>3</sub>) nuclear receptor, which is indicative of upregulation of LDL receptor activity and enhancement of the clearance of LDL-cholesterol from the circulation. I also reduced lipoprotein (a) levels and are useful for the treatment and prevention of occlusive cardiovascular conditions implicated by Lp(a). For example, 2-(4-fluorobenzensulfonyl)benzene-1,4-diol (prepn. given) was coupled with 4-chloro-3,5-dimethylnitrobenzene in the presence of NaH, and the product reduced using Pd/C. Amidation with di-Et oxalate, followed by deesterification, gave II. In an in vitro T<sub>3</sub> nuclear receptor binding assay using Sprague-Dawley rat liver nuclei and plasma membrane preps., II gave an IC<sub>50</sub> of 0.17 nM. II significantly lowered serum cholesterol at a daily dose of about 20 .mu.g/kg p.o. in male Sprague-Dawley rats and about 10 .mu.g/kg p.o. in normocholesterolemic dogs. Lp(a) levels in normolipemic cynomolgus monkeys were lowered by about 40% after a 4 wk treatment with II at a daily oral dose of 75 .mu.g/kg. Thus, I are useful in the prevention and treatment of diseases assoc'd. with an imbalance of thyroid hormones, such as hypo- and hyperthyroidism, obesity, osteoporosis, and depression, and for lowering LDL cholesterol and Lp(a) levels.

IT **298694-79-8P**, N-[4-[3-(2,2-Dimethylpropylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl]oxamic acid **298694-80-1P**, N-[4-(4-Hydroxy-3-phenylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid **298694-81-2P**, N-[4-[3-(4-Fluorophenylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl]oxamic acid **298694-82-3P**, N-[4-[3-(2-Fluorophenylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl]oxamic acid **298694-84-5P**, N-[4-[3-(3-Fluorophenylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl]oxamic acid **298694-85-6P**, N-[4-[4-Hydroxy-3-(4-methoxyphenylsulfamoyl)phenoxy]-3,5-dimethylphenyl]oxamic acid **298694-86-7P**, N-[4-[3-(4-Fluorobenzylsulfamoyl)-4-hydroxyphenoxy]-3,5-dimethylphenyl]oxamic acid **298694-87-8P**, N-[4-[4-Hydroxy-3-(N-methyl-N-phenylsulfamoyl)phenoxy]-3,5-dimethylphenyl]oxamic acid **298694-88-9P**, N-[4-(4-Hydroxy-3-propylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid **298694-89-0P**, N-[4-(4-Hydroxy-3-isopropylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid **298694-90-3P**, N-[4-(3-Butylsulfamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid **298694-91-4P**, N-[4-(4-Hydroxy-3-

isobutylsulfamoylphenoxy)-3,5-dimethylphenyl]oxamic acid  
**298694-92-5P**, N-[4-(3-t-Butylsulfamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid **298694-93-6P**, N-[4-(3-Cyclohexylsulfamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid  
**298694-94-7P**, N-[4-(3-Dimethylsulfamoyl-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid **298694-97-0P**, N-[4-[4-Hydroxy-3-(2-methoxyethylsulfamoyl)phenoxy]-3,5-dimethylphenyl]oxamic acid  
**298695-00-8P**, N-[4-[4-Hydroxy-3-(pyridin-3-ylsulfamoyl)phenoxy]-3,5-dimethylphenyl]oxamic acid **298695-01-9P**, N-[4-[4-Hydroxy-3-(1-methyl-6-oxo-1,6-dihydropyridin-3-ylsulfamoyl)phenoxy]-3,5-dimethylphenyl]oxamic acid **298695-03-1P**, N-[4-[3-(4-Fluorophenylsulfamoyl)phenoxy]-3,5-dimethylphenyl]oxamic acid  
**298695-04-2P**, N-[4-[3-(4-Fluorophenylsulfamoyl)-4-hydroxyphenoxy]-3-methylphenyl]oxamic acid  
 RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (prepn. of (4-phenoxyphenyl)oxamic acid derivs. and analogs as hypolipidemics by coupling phenols with 4-chloronitrobenzenes, redn. to the amines, and amidation with oxalates)  
 RN 298694-79-8 CAPLUS  
 CN Acetic acid, [[4-[3-[(2,2-dimethylpropyl)amino]sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)

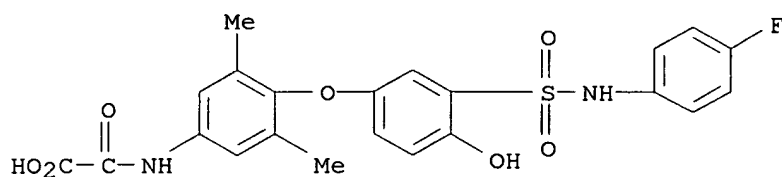


RN 298694-80-1 CAPLUS  
 CN Acetic acid, [[4-[4-hydroxy-3-[(phenylamino)sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



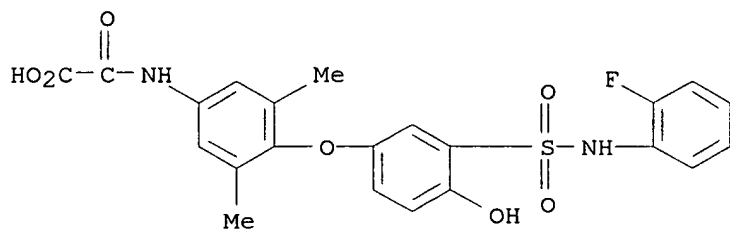
RN 298694-81-2 CAPLUS

CN Acetic acid, [[4-[3-[[4-(4-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



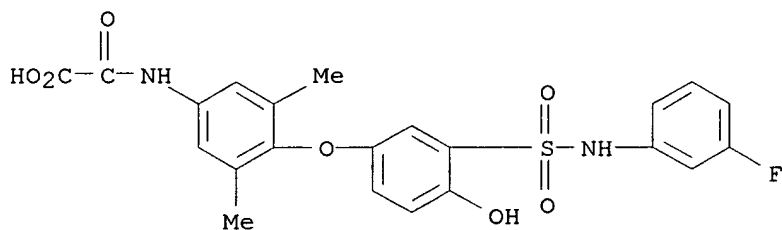
RN 298694-82-3 CAPLUS

CN Acetic acid, [[4-[3-[[2-(2-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



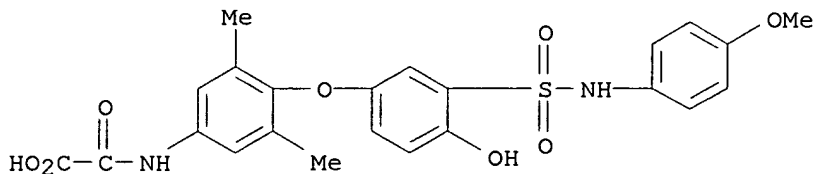
RN 298694-84-5 CAPLUS

CN Acetic acid, [[4-[3-[[3-(3-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



RN 298694-85-6 CAPLUS

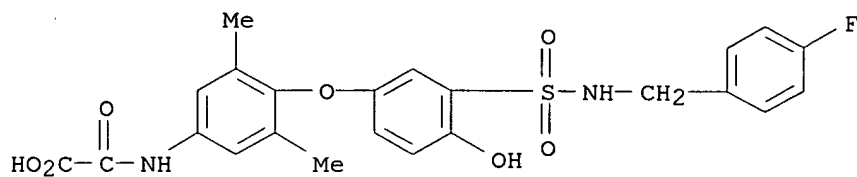
CN Acetic acid, [[4-[4-hydroxy-3-[[4-(4-methoxyphenyl)amino]sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)





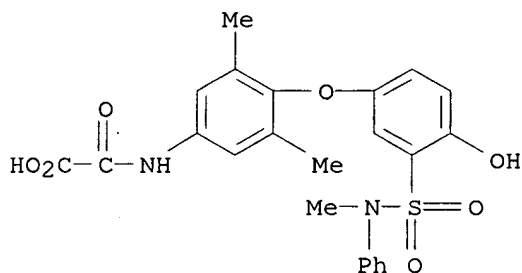
RN 298694-86-7 CAPLUS

CN Acetic acid, [[4-[3-[[[(4-fluorophenyl)methyl]amino]sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



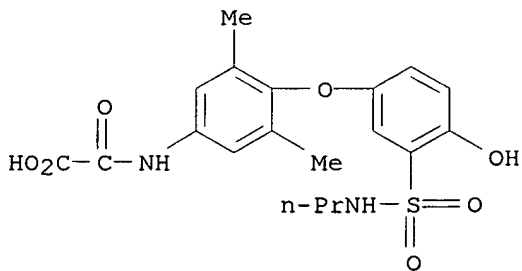
RN 298694-87-8 CAPLUS

CN Acetic acid, [[4-[4-hydroxy-3-[(methylphenylamino)sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



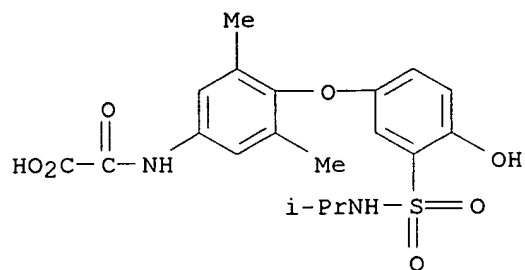
RN 298694-88-9 CAPLUS

CN Acetic acid, [[4-[4-hydroxy-3-[(propylamino)sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



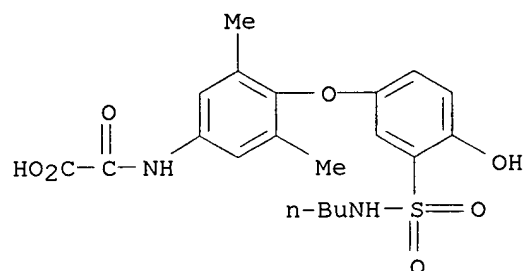
RN 298694-89-0 CAPLUS

CN Acetic acid, [[4-[4-hydroxy-3-[(1-methylethyl)amino]sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



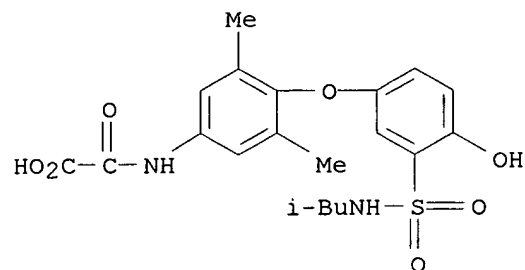
RN 298694-90-3 CAPLUS

CN Acetic acid, [[4-[3-[(butylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



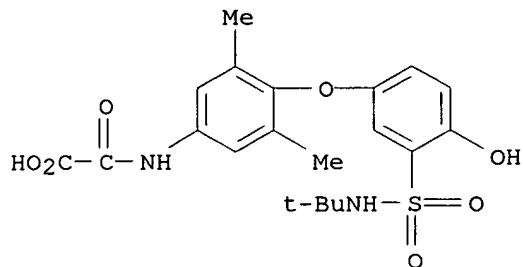
RN 298694-91-4 CAPLUS

CN Acetic acid, [[4-[4-hydroxy-3-[(2-methylpropyl)amino]sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



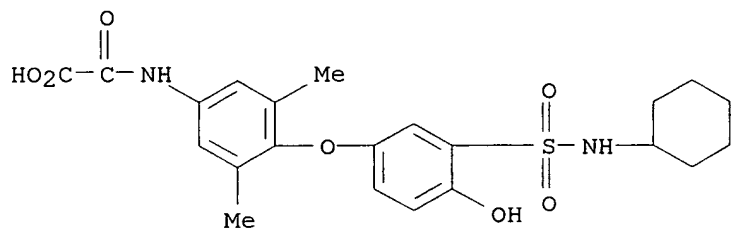
RN 298694-92-5 CAPLUS

CN Acetic acid, [[4-[3-[(1,1-dimethylethyl)amino]sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



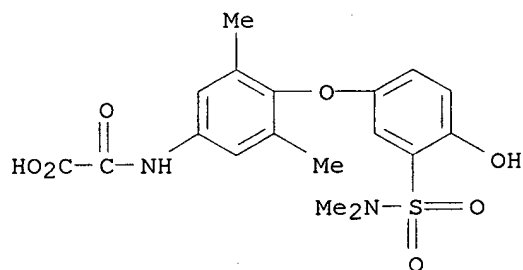
RN 298694-93-6 CAPLUS

CN Acetic acid, [[4-[3-[(cyclohexylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



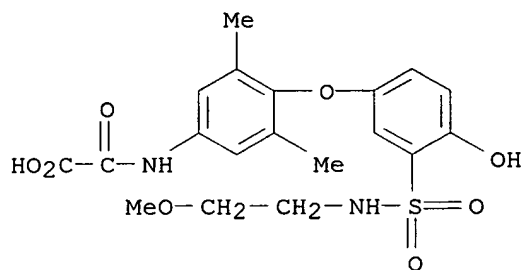
RN 298694-94-7 CAPLUS

CN Acetic acid, [[4-[3-[(dimethylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



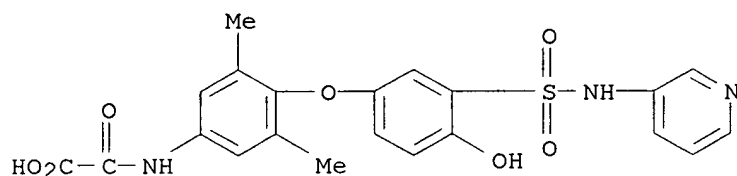
RN 298694-97-0 CAPLUS

CN Acetic acid, [[4-[4-hydroxy-3-[(2-methoxyethyl)amino]sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



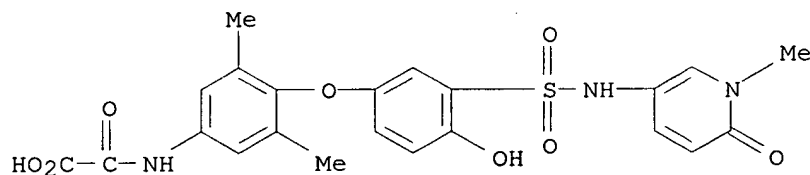
RN 298695-00-8 CAPLUS

CN Acetic acid, [[4-[4-hydroxy-3-[(3-pyridinylamino)sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



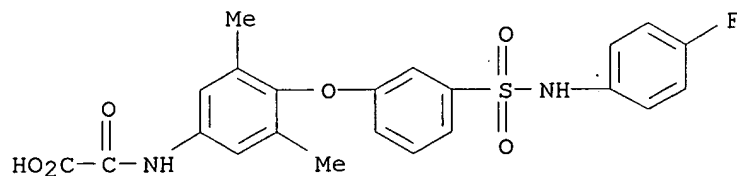
RN 298695-01-9 CAPLUS

CN Acetic acid, [[4-[3-[[[(1,6-dihydro-1-methyl-6-oxo-3-pyridinyl)amino]sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



RN 298695-03-1 CAPLUS

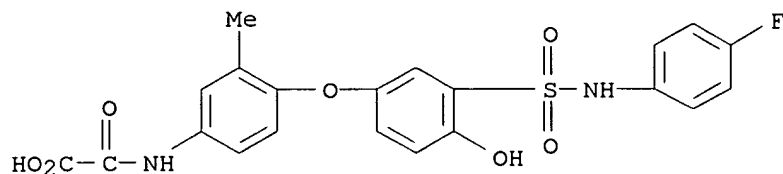
CN Acetic acid, [[4-[3-[[[(4-fluorophenyl)amino]sulfonyl]phenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



RN 298695-04-2 CAPLUS

CN Acetic acid, [[4-[3-[[[(4-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-3-

methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 2

REFERENCE(S):

- (1) Ciba Geigy Ag; EP 0580550 A 1994 CAPLUS  
 (2) Yokoyama, N; JOURNAL OF MEDICINAL CHEMISTRY 1995, V38, P695 CAPLUS

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2001 ACS

ACCESSION NUMBER: 2000:628106 CAPLUS

DOCUMENT NUMBER: 133:207681

TITLE: Preparation of 4-(sulfamoylphenoxy)phenyloxamic acids and derivatives as thyroid receptor ligands

INVENTOR(S): Chiang, Yuan-Ching Phoebe; Dow, Robert Lee

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

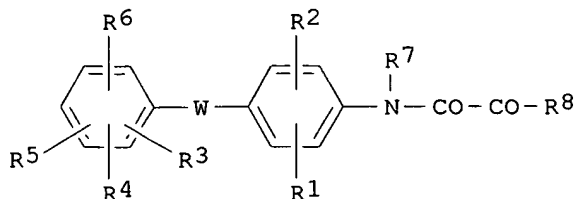
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000051971	A1	20000908	WO 2000-IB183	20000221
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				

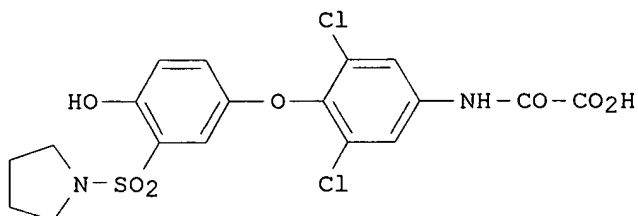
PRIORITY APPLN. INFO.: US 1999-122292 19990301

OTHER SOURCE(S): MARPAT 133:207681

GI



I



II

AB The title compds. (I) [wherein R1-R3 = independently H, halo, alkyl, CF<sub>3</sub>, CN, OCF<sub>3</sub>, or alkoxy; R4 = H or (un)substituted alkyl; or R3 and R4 together form an (un)substituted carbocyclic ring, (CH<sub>2</sub>)<sub>b</sub>, or a heterocyclic ring, Q(CH<sub>2</sub>)<sub>c</sub> or (CH<sub>2</sub>)<sub>j</sub>Q(CH<sub>2</sub>)<sub>k</sub>; b = 3-7; c = 2-6; j and k = independently 2-6; Q = O, S, or NR<sub>1</sub>; R5 = F, OH, alkoxy, or carboxy; or

R4 and R5 together form a heterocyclic ring; R6 = H, halo, alkyl, or CF<sub>3</sub>; R7 = H or alkyl; R8 = OH, alkoxy, or (un)substituted amino; W = O, S(O)<sub>d</sub>, CH<sub>2</sub>, NH, or N(alkyl); d = 0-2], prodrugs, geometric and optical isomers, and pharmaceutically acceptable salts were prepd. as thyroid receptor ligands. Thus, 2',6'-dichloro-4-methoxy-4'-nitrodiphenyl ether was treated with ClSO<sub>2</sub>H and pyrrolidine in two steps to give 1-[5-(2,6-dichloro-4-nitrophenoxy)-2-methoxybenzenesulfonyl]pyrrolidine. Demethylation using BCl<sub>3</sub>, followed by redn. using Pd/C, addn. of di-Et oxalate, and deesterification, yielded II. An in vivo oxygen consumption assay designed to evaluate the efficacy and cardiac effects of tissue-selective thyroid hormone agonists and a thyroid hormone receptor (TR.alpha. and TR.beta.) binding assay for thyromimetic compds. are described (no data). I are useful for the treatment of obesity, hyperlipidemia, glaucoma, cardiac arrhythmia, skin disorders, thyroid disease, hypothyroidism, and related disorders and diseases, such as diabetes mellitus, atherosclerosis, hypertension, coronary heart disease, hypercholesteremia, depression, and osteoporosis. An anorectic agent or lipase inhibitor may be administered with I to treat these conditions.

IT **290349-36-9P 290349-37-0P 290349-38-1P,**

N-[4-(3-(Cyclopropylsulfamoyl)-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid **290349-39-2P**, N-[4-(3-(Cyclobutylsulfamoyl)-4-hydroxyphenoxy)-3,5-dimethylphenyl]oxamic acid **290349-63-2P**, N-[3-Chloro-4-(3-cyclopropylsulfamoyl-4-hydroxyphenoxy)-5-methylphenyl]oxamic acid **290349-64-3P**, N-[3-Chloro-4-(3-cyclobutylsulfamoyl-4-hydroxyphenoxy)-5-methylphenyl]oxamic acid **290349-65-4P**, N-[3-Chloro-4-(3-cyclopentylsulfamoyl-4-hydroxyphenoxy)-5-methylphenyl]oxamic acid **290349-66-5P**, N-[3-Chloro-4-(3-cyclohexylsulfamoyl-4-hydroxyphenoxy)-5-

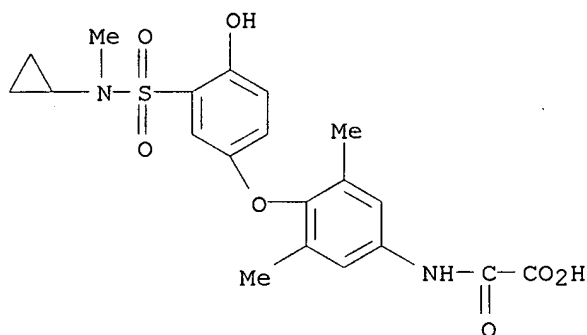
methylphenyl]oxamic acid **290349-67-6P**, N-[3-Chloro-4-(4-hydroxy-3-sulfamoylphenoxy)-5-methylphenyl]oxamic acid **290349-68-7P**, N-[3-Chloro-4-[3-(4-fluorophenylsulfamoyl)-4-hydroxyphenoxy]-5-methylphenyl]oxamic acid **290349-69-8P**, N-[3-Chloro-4-(4-hydroxy-3-propylsulfamoylphenoxy)-5-methylphenyl]oxamic acid **290349-70-1P**, N-[4-(3-Butylsulfamoyl-4-hydroxyphenoxy)-3-chloro-5-methylphenyl]oxamic acid **290349-78-9P**, N-[3,5-Dichloro-4-(4-hydroxy-3-isopropylsulfamoylphenoxy)phenyl]oxamic acid

RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(prepn. of 4-(sulfamoylphenoxy)phenyloxamic acids and derivs. as thyroid receptor ligands by treatment of 4-methoxy-4'-nitrodiphenyl ethers with ClSO<sub>3</sub>H and amines, redn., and amidation with oxalates)

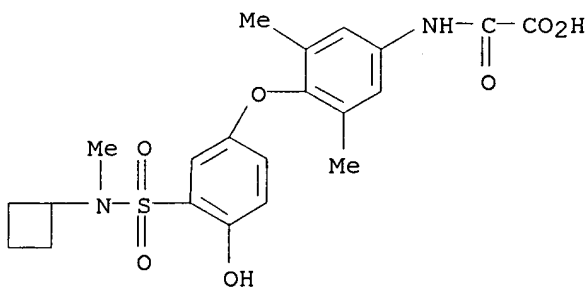
RN 290349-36-9 CAPLUS

CN Acetic acid, [[4-[3-[(cyclopropylmethylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



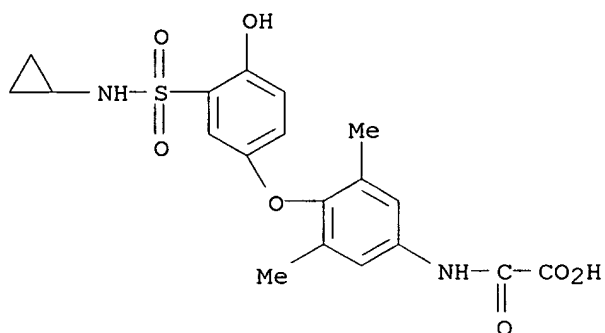
RN 290349-37-0 CAPLUS

CN Acetic acid, [[4-[3-[(cyclobutylmethylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



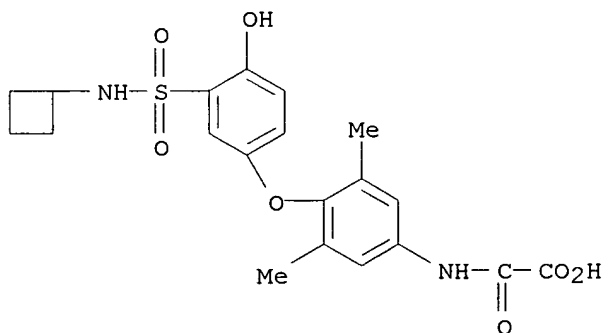
RN 290349-38-1 CAPLUS

CN Acetic acid, [[4-[3-[(cyclopropylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



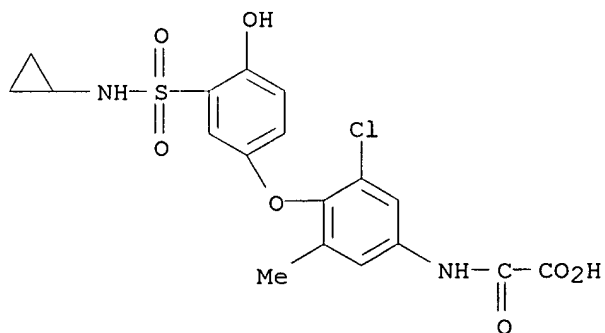
RN 290349-39-2 CAPLUS

CN Acetic acid, [[4-[3-[(cyclobutylamino)sulfonyl]-4-hydroxyphenoxy]-3,5-dimethylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



RN 290349-63-2 CAPLUS

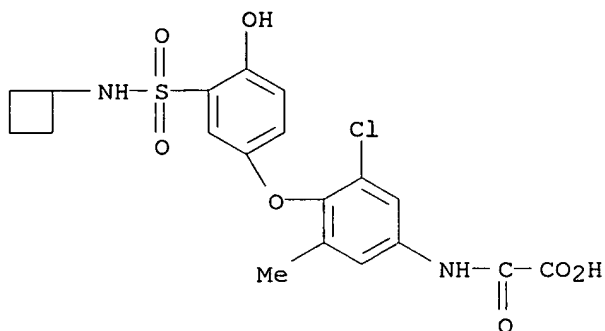
CN Acetic acid, [[3-chloro-4-[3-[(cyclopropylamino)sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



RN 290349-64-3 CAPLUS

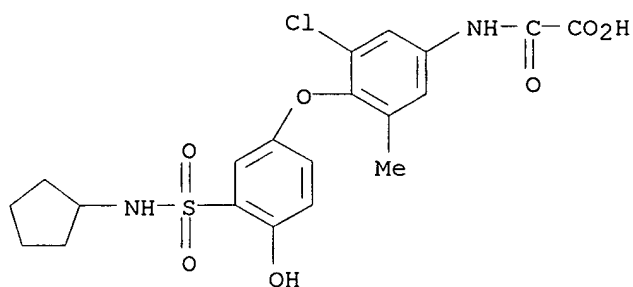
CN Acetic acid, [[3-chloro-4-[3-[(cyclobutylamino)sulfonyl]-4-hydroxyphenoxy]-

5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



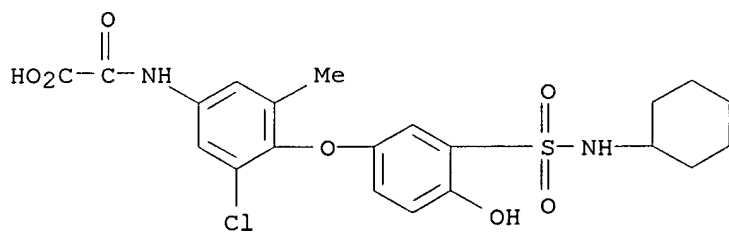
RN 290349-65-4 CAPLUS

CN Acetic acid, [[3-chloro-4-[3-[(cyclopentylamino)sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



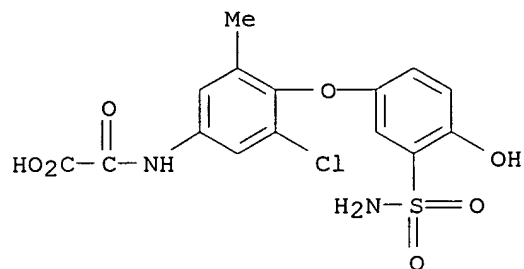
RN 290349-66-5 CAPLUS

CN Acetic acid, [[3-chloro-4-[3-[(cyclohexylamino)sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



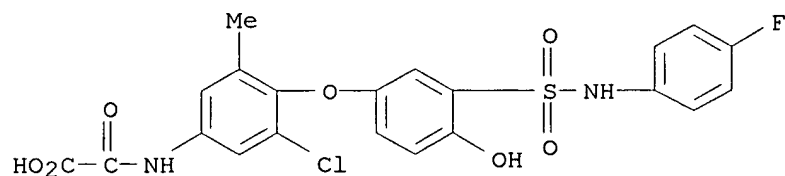
RN 290349-67-6 CAPLUS

CN Acetic acid, [[4-[3-(aminosulfonyl)-4-hydroxyphenoxy]-3-chloro-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



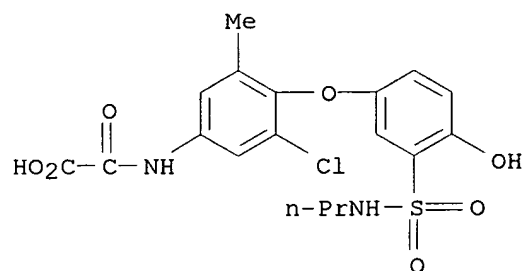
RN 290349-68-7 CAPLUS

CN Acetic acid, [[3-chloro-4-[3-[[4-(4-fluorophenyl)amino]sulfonyl]-4-hydroxyphenoxy]-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



RN 290349-69-8 CAPLUS

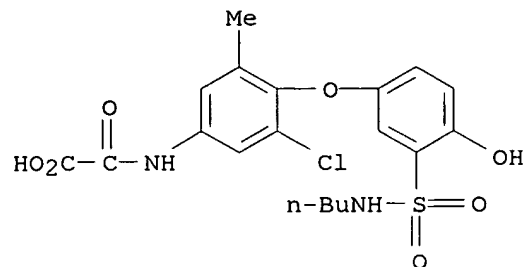
CN Acetic acid, [[3-chloro-4-[4-hydroxy-3-[(propylamino)sulfonyl]phenoxy]-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)



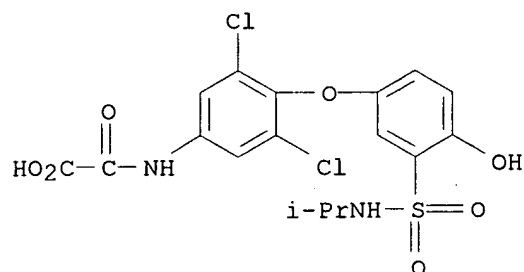
RN 290349-70-1 CAPLUS

CN Acetic acid, [[4-[3-[(butylamino)sulfonyl]-4-hydroxyphenoxy]-3-chloro-5-methylphenyl]amino]oxo- (9CI) (CA INDEX NAME)

09/ 533,219



RN 290349-78-9 CAPLUS  
CN Acetic acid, [[3,5-dichloro-4-[4-hydroxy-3-[[1-(1-methylethyl)amino]sulfonyl]phenoxy]phenyl]amino]oxo- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 4  
REFERENCE(S): (1) Apelqvist, T; WO 0007972 A 2000 CAPLUS  
(2) Ciba Geigy Ag; EP 0580550 A 1994 CAPLUS  
(3) Taylor, A; MOLECULAR PHARMACOLOGY 1997, V52(3), P542 CAPLUS  
(4) Yokoyama, N; JOURNAL OF MEDICINAL CHEMISTRY 1995, V38, P695 CAPLUS

=> d his

(FILE 'HOME' ENTERED AT 17:11:24 ON 12 MAR 2001)

FILE 'REGISTRY' ENTERED AT 17:11:32 ON 12 MAR 2001

L1 STRUCTURE UPLOADED  
L2 1 S L1  
L3 33 S L1 FUL

FILE 'CAPLUS' ENTERED AT 17:12:24 ON 12 MAR 2001

L4 2 S L3

=> log y

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

09/ 533,219

FULL ESTIMATED COST	ENTRY	SESSION
	9.03	142.74
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	-1.18	-1.18

STN INTERNATIONAL LOGOFF AT 17:13:26 ON 12 MAR 2001